WHAT IS CLAIMED IS:

1. A non-naturally occurring bifunctional molecule of less than about 5000 daltons consisting of a drug moiety and a pharmacokinetic modulating moiety, wherein said drug moiety and said pharmacokinetic modulating moiety are optionally joined by a linking group and said bifunctional molecule exhibits at least one modulated pharmacokinetic property upon administration to a host as compared to a free drug control.

2. The bifunctional molecule according to Claim 1, wherein said bifunctional molecule comprises a linking group.

3. The bifunctional molecule according to Claim 1, wherein said bifunctional molecule does not include a linking group.

4. The bifunctional molecule according to Claim 1, wherein said pharmacokinetic property is selected from the group consisting of half-life, hepatic first-pass metabolism, volume of distribution and degree of blood protein binding.

5. The bifunctional molecule according to Claim 1, wherein said pharmacokinetic modulating moiety binds to a protein.

6. The bifunctional molecule according to Claim 5, wherein said protein is an extracellular protein.

7. The bifunctional molecule according to Claim 5, wherein said protein is an intracellular protein.

8. A synthetic bifunctional molecule of less than about 5000 daltons of the formula:

Z-L-X

wherein:

X is a drug moiety;

L is a bond or a linking group; and

Z is a pharmacokinetic modulating moiety;

wherein X and Z are different and bifunctional molecule exhibits at least one modulated pharmacokinetic property upon administration to a host as compared to a free drug control.

9. The bifunctional molecule according to Claim 8, wherein said pharmacokinetic property is selected from the group consisting of half-life, hepatic first-pass metabolism, volume of distribution and degree of blood protein binding.

10. The bifunctional molecule according to Claim 8, wherein said drug moiety has a molecular weight of from about 50 to 2000 D.

11. The bifunctional molecule according to Claim 8, wherein said drug moiety binds to a protein target.

12. The bifunctional molecule according to Claim 8, wherein said pharmacokinetic modulating moiety binds to an extracellular protein.

13. The bifunctional molecule according to Claim 8, wherein said pharmacokinetic modulating moiety binds to an intracellular protein.

14. The bifunctional molecule according to Claim 8, wherein said bifunctional molecule comprises a linking group.

15. The bifunctional molecule according to Claim 8, wherein said pharmacokinetic modulating moiety has substantially no pharmacologic activity apart from binding to an endogenous protein of said host.

16. A method for modulating at least one pharmacokinetic property of a drug upon administration to a host, said method comprising:

administering to said host an effective amount of a bifunctional molecule of less than about 5000 daltons consisting of said drug or an active derivative thereof and a pharmacokinetic modulating moiety optionally joined by a linking group, wherein said bifunctional molecule has at least one modulated pharmacokinetic property upon administration to said host as compared to a free drug control;

whereby at least one pharmacokinetic property of said drug upon administration to said host is modulated as compared to a free drug control.

17. The method according to Claim 16, wherein said pharmacokinetic property is selected from the group consisting of half-life, hepatic first-pass metabolism, volume of distribution and degree of blood protein binding.

18. The method according to Claim 16, wherein said bifunctional molecule comprises a linking group.

19. The method according to Claim 16, wherein pharmacokinetic modulating moiety binds to an intracellular protein.

20. The method according to Claim 16, wherein said pharmacokinetic modulating moiety binds to an extracellular protein.

21. The method according to Claim 16, wherein said drug target is a protein.

22. The method according to Claim 16, wherein said bifunctional molecule is administered as a pharmaceutical preparation.

23. A method for modulating the half life of a drug upon administration to a host, said method comprising:

administering to said host an effective amount of a bifunctional molecule of less than about 5000 daltons consisting of said drug or an active derivative thereof and a half-life modulating moiety optionally joined by a linking group, wherein said bifunctional molecule has a modified half-life upon administration to said host as compared to a free drug control;

whereby the half life of said drug upon administration to said host is modulated as compared to a free drug control.

24. The method according to Claim 23, wherein said half-life modulating moiety binds to an intracellular protein.

25. The method according to Claim 23, wherein said half-life modulating moiety binds to an extracellular protein.

26. The method according to Claim 23, wherein said drug target is a protein.

27. The method according to Claim 23, wherein said bifunctional molecule is administered as a pharmaceutical preparation.

28. A method for modulating the hepatic first-pass metabolism of a drug upon administration to a host, said method comprising:

administering to said host an effective amount of a bifunctional molecule of less than about 5000 daltons consisting of said drug or an active derivative thereof and a hepatic first-pass metabolism modulating moiety optionally joined by a linking group, wherein said bifunctional molecule has a modified hepatic first-pass metabolism upon administration to said host as compared to a free drug control;

whereby the hepatic first-pass metabolism of said drug upon administration to said host is modulated as compared to a free drug control.

29. The method according to Claim 28, wherein said hepatic first-pass metabolism modulating moiety binds to an intracellular protein.

30. The method according to Claim 28, wherein said hepatic first-pass metabolism modulating moiety binds to an extracellular protein.

31. The method according to Claim 28, wherein said drug target is a protein.

32. The method according to Claim 28, wherein said bifunctional molecule is administered as a pharmaceutical preparation.

33. A method for modulating the volume of distribution of a drug upon administration to a host, said method comprising:

administering to said host an effective amount of a bifunctional molecule of less than about 5000 daltons consisting of said drug or an active derivative thereof and a volume of distribution modulating moiety optionally joined by a linking group, wherein said bifunctional molecule has a modified volume of distribution upon administration to said host as compared to a free drug control;

whereby the volume of distribution of said drug upon administration to said host is modulated as compared to a free drug control.

34. The method according to Claim 33, wherein said volume of distribution modulating moiety binds to an intracellular protein.

35. The method according to Claim 33, wherein said volume of distribution modulating moiety binds to an extracellular protein.

36. The method according to Claim 33, wherein said drug target is a protein.

37. The method according to Claim 33, wherein said bifunctional molecule is administered as a pharmaceutical preparation.

38. A method for modulating the blood protein binding effect on a drug upon administration to a host, said method comprising:

administering to said host an effective amount of a bifunctional molecule of less than about 5000 daltons consisting of said drug or an active derivative thereof and albumin effect modulating moiety optionally joined by a linking group, wherein said bifunctional molecule exhibits a modified blood protein binding effect upon administration to said host as compared to a free drug control;

whereby the blood protein binding effect on said drug upon administration to said host is modulated as compared to a free drug control.

39. The method according to Claim 38, wherein said blood protein binding effect modulating moiety is a ligand for albumin.

40. The method according to Claim 39, wherein said bifunctional molecule comprises a linking group.

41. The method according to Claim 40, wherein said linking group is sufficient to display said drug moiety in a manner such that it is available for binding to its target but not to a second albumin molecule.

42. The method according to Claim 38, wherein said bifunctional molecule is administered as a pharmaceutical preparation.

43. In a method of administering a drug to a host in need of said drug, the improvement comprising:

administering to said host an effective amount of a bifunctional molecule of less than about 5000 daltons consisting of said drug or a derivative thereof covalently linked, either directly or through an optional linking group, to a pharmacokinetic modulating moiety.

44. The method according to Claim 43, wherein said host is a mammalian host.

45. The method according to Claim 44, wherein said mammalian host is human.

46. The method according to Claim 43, wherein said drug is a small molecule.

47. The method according to Claim 43, wherein said pharmacokinetic modulating moiety binds to an extracellular protein.

48. The method according to Claim 43, wherein said pharmacokinetic modulating moiety binds to an intracellular protein.

49. A pharmaceutical preparation comprising a bifunctional molecule according to Claim 1.

50. A kit comprising the pharmaceutical preparation according to Claim 49 and instructions for use in a therapeutic method.